



A
P. Colby
5/18/88

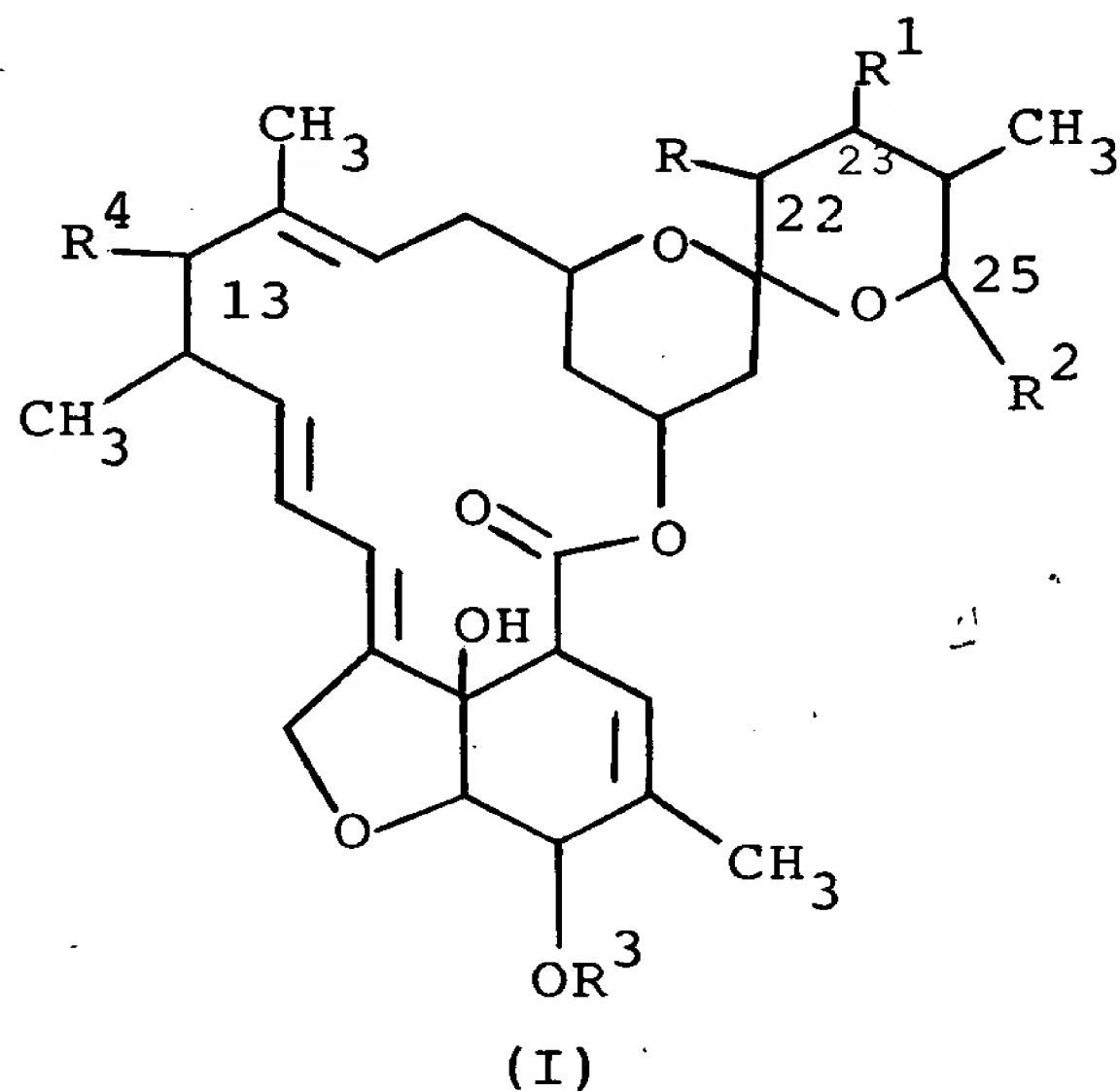
12888

PATENT
SPC 6947/6970/A

ANTIPARASITIC AGENTS AND PROCESS FOR THEIR PREPARATION

Abstract

The invention provides novel compounds having the
5 formula:



wherein R when taken individually is H; R^1 when taken individually is H or OH; R and R^1 when taken together represent a double bond;

R^2 is an alpha-branched $C_{3,4}-C_8$ alkyl, alkenyl, alkynyl, alkoxyalkyl or alkylthioalkyl group; a $C_{3,4}-C_8$ cycloalkyl, $C_{5,6}-C_8$ cycloalkenyl or $C_{5,6}-C_8$ cycloalkylalkyl group, any of which may be substituted by methylene or one or more $C_{1,2}-C_4$ alkyl groups or halo atoms; or a 3 to 6 membered oxygen or sulphur containing heterocyclic

ring which may be substituted by one or more C_1-C_4 alkyl groups or halo atoms;

R^3 is hydrogen or methyl;

R^4 is H or 4'-(alpha-L-oleandrosyl)-alpha-L-oleandrosyloxy with the proviso that when R^2 is alkyl it is not isopropyl or sec-butyl; when R^4 is H, each of R and R^1 is H, and R^2 is not methyl or ethyl; and when R^4 is H, R is H, R^1 is OH, and R^2 is not 2-buten-2-yl, 2-penten-2-yl or 4-methyl-2-penten-2-yl.

PA 10 The compounds are broad spectrum antiparasitic agents having utility as anthelmintics, ectoparasiticides, insecticides and acaricides. The invention also provides a process for producing the novel avermectin and milbemycin derivatives by adding a carboxylic acid 15 or derivative thereof to a fermentation of an avermectin or milbemycin producing organism.